=> d 11 L1 HAS NO ANSWERS L1 STR

REP G1=(0-5) CH NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM GGCAT IS MCY UNS AT 13 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 2 8
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> d his 12

(FILE 'REGISTRY' ENTERED AT 09:22:58 ON 09 FEB 2009)
L2 416 SEA FILE=REGISTRY SSS FUL L1

=> d 13 L3 HAS NO ANSWERS L3 STR

REP G1=(0-5) CH NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 2 8

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

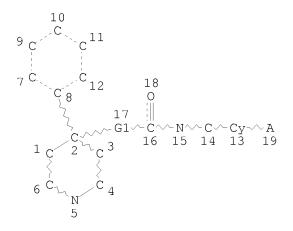
=> d his 14

(FILE 'REGISTRY' ENTERED AT 09:22:58 ON 09 FEB 2009)
L4 11 SEARCH L3 SSS SUB=L2 FUL

=> d his 15

(FILE 'REGISTRY' ENTERED AT 09:22:58 ON 09 FEB 2009) L5 405 S L2 NOT L4

=> d 16 L6 HAS NO ANSWERS L6 ST



REP G1=(0-5) CH NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM GGCAT IS MCY UNS AT 13 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 2 8
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> search 16
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):15
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 09:26:20 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 405 TO ITERATE

100.0% PROCESSED 405 ITERATIONS 287 ANSWERS

SEARCH TIME: 00.00.01

L7 287 SEA SUB=L5 SSS FUL L6

=> d scan

L7 287 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Piperidineacetamide, N-[(3,5-dichlorophenyl)methyl]-4-(4-fluoro-2-methylphenyl)-1-(2-methoxyethyl)-N-methyl-

MF C25 H31 C12 F N2 O2

CI COM

$$\begin{array}{c|c} \text{C1} & \text{Me O} & \text{CH}_2-\text{CH}_2-\text{OMe} \\ \text{C1} & \text{CH}_2-\text{N-C-CH}_2 & \text{Me} \\ \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 90.88 91.10

COST IN U.S. DOLLARS
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:26:34 ON 09 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 9 Feb 2009 VOL 150 ISS 7 FILE LAST UPDATED: 8 Feb 2009 (20090208/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17 L8 10 L7

=> d bib abs 1-10

L8 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:904107 CAPLUS

DN 145:454919

TI Solid-phase synthesis of 4-biaryl-piperidine-4-carboxamides

AU Zhu, Jin; Pottorf, Richard S.; Player, Mark R.

CS Johnson & Johnson Pharmaceutical Research and Development, L.L.C., Cranbury, NJ, 08512, USA

SO Tetrahedron Letters (2006), 47(40), 7267-7270 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 145:454919

AB A novel solid-phase synthesis of 4-biarylpiperidine-4-carboxamides was developed using FDMP [2-(3,5-dimethoxy-4-formylphenoxy)ethoxymethyl] resin with a carboxamide as the anchor point. With this approach, three points of diversity were incorporated into a GPCR- (G-protein coupled receptor) directed scaffold. Final products were obtained in good purity and yield.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:472146 CAPLUS

DN 143:26500

TI Preparation of piperidinylpyrrolidinones for treatment of conditions mediated by tachykinins and the serotonin reuptake transporter

IN Alvaro, Giuseppe; Di Fabio, Romano; Giovannini, Riccardo; Paio, Alfredo; Tranquillini, Maria Elvira; Mattioli, Lucia

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

LA English

1 7311		rent 	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
ΡI	WO	2005	0496	00		A1	_	2005	0602		WO 2	004-	EP12	772		2	0041	110
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
	LK, LR, LS,			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	IS,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,
			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$ ,	MR,
	NE, SN, TD			TD,	ΤG													
	AU 2004291296					A1		2005	0602		AU 2	004-	2912	96		2	0041	110

	CA	2546	007			A1	,	2005	0602		CA	20	04-	2546	007		2	0041	110
	ΕP	1689	737			A1		2006	0816		EΡ	20	04-	7978	09		2	0041	110
	ΕP	1689	737			В1	,	2008	0716										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG	∃,	CZ,	EE,	HU,	PL,	SK,	HR,	IS
	CN	1878	764			Α		2006	1213		CN	20	04-	8003	3397		2	0041	110
	BR	2004	01628	85		Α	2	2007	0123		BR	20	04-	1628	5		2	0041	110
	JΡ	2007	51069	92		Τ		2007	0426		JΡ	20	06-	5387	91		2	0041	110
	ΑT	4013	21			Τ		2008	0815		ΑT	20	04-	7978	09		2	0041	110
	ES	2310	295			Т3		2009	0101		ES	20	04-	7978	09		2	0041	110
	ΙN	2006	DN01	767		Α		2007	0831		ΙN	20	06-	DN17	67		2	0060	331
	MX	2006	0053	8 0		А		2006	0711		MX	20	06-	5308			2	0060	511
	KR	2006	1184	77		А		2006	1123		KR	20	06-	7092	74		2	0060	512
	ИО	2006	0026	61		Α		2006	0609		ИО	20	06-	2661			2	0060	609
	US	2008	0262	041		A1	4	2008	1023		US	20	08-	5956	62		2	0080	103
PRAI	GB	2003	-2640	07		А	4	2003	1112										
	WO	2004	-EP1	2772		W		2004	1110										
OS	MAF	RPAT	143:2	2650	0														
GI																			

AB Title compds. [I; dotted line = optional double bond; R = (substituted) Ph, methylenedioxyphenyl, benzofuryl; R2 = H, alkyl; R3 = H, OH, alkyl; R4 = H; R3R4 = O, CH2; R5 = (substituted) Ph, naphthyl, 9-10 membered fused bicyclic heterocyclyl, 5-6 membered heteroaryl; R6, R7 = H, cyano, alkyl; R8 = (CH2)rR10; R9 = H, halo, C3-7 cycloalkyl, OH, NO2, cyano, (substituted) alkyl; R10 = H, C3-7 cycloalkyl; n = 1, 2; r = 1-4], were prepared Thus, 1,1-dimethylethyl 4-[1-[(3,5-dichlorophenyl)methyl]-5-hydroxy-2-oxo-3-pyrrolidinyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate (preparation given) was heated with CF3CO2H at 60° for 3 h to give 1-[(3,5-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-4-piperidinyl]-1,5-dihydro-2H-pyrrol-2-one. The latter and other I showed NK1 receptor binding with pKi = 8.65-8.07.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:41442 CAPLUS

DN 140:111281

TI Preparation of substituted piperidines as NK1 receptor ligands

IN Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini, Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira

PA Glaxo Group Limited, UK; Di Fabio, Romano

SO PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DT Patent

LA English

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2004005256	A2	20040115	WO 2003-EP7127	20030702

```
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2003257433
                              20040123
                                        AU 2003-257433
                        A1
    EP 1558577
                         A2
                               20050803
                                         EP 2003-762615
                                                                20030702
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                        JP 2004-518696 20030702
    JP 2005535650
                        Т
                             20051124
    US 20060128752
                               20060615
                                          US 2006-520143
                        Α1
                                                                 20060117
PRAI GB 2002-15393
                        Α
                              20020703
    GB 2003-6454
                              20030320
                        Α
                        W 20030702
    WO 2003-EP7127
OS
    MARPAT 140:111281
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AB
    Title compds. I [R = alkyl, cyano, alkoxy, etc.; R1 = H, halo, cycloalkyl,
    OH, etc.; R2 = H, alkyl; R3-4 = H, CN, alkyl, etc.; R5 = CF3, SOO-2,
    alkyl, etc.; R6 = H, alkyl; m = 1-4; n = 1-2; p = 0-3; q = 1-3] are prepared
    For instance, 4-carboxymethyl-4-(4-fluorophenyl)piperidine-1-carboxylic
    acid tert-Bu ester (preparation given) is coupled to 3,5- (DMF, EDCI, HOBt) and
    deprotected (CH2Cl2, TFA) to give II. Example compds. inhibit (rat)
    serotonin transporter with pIC50 in the range of 7.50 - 5.30. I are
    useful in the treatment of conditions mediated by tachykinins and/or by
    selective inhibition of serotonin reuptake transporter protein.
RE.CNT 5
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
L8
ΑN
    2003:855758 CAPLUS
DN
    139:364829
TI
    Preparation of heterocyclo inhibitors of potassium channel function
    Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge;
ΤN
    Gross, Michael F.
    Bristol-Myers Squibb Company, USA; Icagen, Inc.
PA
    PCT Int. Appl., 330 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 2
                                          APPLICATION NO.
    PATENT NO.
                       KIND
                               DATE
                       ____
                               _____
                                          _____
                   A2
A3
                        A2 20031030
A3 20040527
    WO 2003088908
                                          WO 2003-US11807
                                                                 20030416
PΙ
    WO 2003088908
```

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,

20041014

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

А3

WO 2004005256

```
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003223651
                                             AU 2003-223651
                          Α1
                                20031103
                                                                    20030416
     EP 1501467
                          Α2
                                 20050202
                                             EP 2003-719792
                                                                     20030416
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005529114
                          Τ
                                20050929
                                             JP 2003-585661
                                                                     20030416
     NO 2004004351
                                 20041013
                                             NO 2004-4351
                                                                     20041013
                          Α
PRAI US 2002-374279P
                          Ρ
                                20020419
     WO 2003-US11807
                          W
                                20030416
OS
     MARPAT 139:364829
GΙ
```

The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

OMe

ΙI

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L8 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
```

AN 2002:813930 CAPLUS

DN 137:325334

TI Preparation of aryl and biaryl piperidines as MCH antagonists

IN Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, Suresh D.; Shao, Yuefei

PA Pharmacopeia, Inc., USA

SO PCT Int. Appl., 113 pp. CODEN: PIXXD2

DT Patent

LA English

	PA]	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
							_											
ΡI	WO	2002	0831	34		A1		2002	1024		WO 2	002-	US11	296		2	0020	410
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	HR,	HU,

```
ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                             CA 2002-2443672
     CA 2443672
                          Α1
                                 20021024
                                                                     20020410
     AU 2002303299
                          Α1
                                 20021028
                                             AU 2002-303299
                                                                     20020410
     US 20030013720
                          A1
                                 20030116
                                             US 2002-120080
                                                                     20020410
     US 6887889
                                 20050503
                          В2
     EP 1377293
                                 20040107
                                             EP 2002-731318
                                                                     20020410
                          Α1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004526761
                          Τ
                                 20040902
                                             JP 2002-580938
                                                                     20020410
     MX 2003009353
                                 20040212
                                             MX 2003-9353
                                                                     20031010
                          Α
PRAI US 2001-283523P
                          Ρ
                                 20010412
     WO 2002-US11296
                                 20020410
                          W
     MARPAT 137:325334
OS
GΙ
```

AB The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment, prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed Ki of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:551566 CAPLUS
- DN 137:119637
- TI Compositions and methods for inhibiting fungal growth
- IN Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi, Krishna; Pal, Kollol
- PA GPC Biotech Inc., USA
- SO U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 115,846. CODEN: USXXAM
- DT Patent

```
English
LΑ
FAN.CNT 2
                   KIND DATE APPLICATION NO. DATE
     PATENT NO.
                        ----
                                             _____
     _____
                                                                      _____
     US 6423519
CA 2335381
                         B1 20020723 US 1998-172845 19981015
PΙ
                         A1 20000127
                                            CA 1999-2335381
                                                                     19990715
     WO 2000003743 A2 20000127
WO 2000003743 A3 20010201
                                             WO 1999-US16146
                                                                      19990715
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                          A 20000207 AU 1999-51075
A2 20010509 EP 1999-935639
     AU 9951075
                                                                       19990715
     EP 1096925
                                                                      19990715
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                      T
B2
                               20020709
     JP 2002520372
                                              JP 2000-559877
                                                                       19990715
                              19980,1.
19981015
19990715
PRAI US 1998-115846
     US 1998-172845
                          Α
     WO 1999-US16146
                          W
OS
     MARPAT 137:119637
     The present invention relates to compns. and methods for inhibiting fungal
AB
     growth. The present invention relates to methods for treating or
     preventing fungal infections and infections involving other eukaryotic
     parasites of plants or animals, using compds. that specifically inhibit
     the biol. activity of the enzyme protein geranylgeranyltransferase
     (GGPTase). The inhibitors of fungal GGPTase which are anti-fungal agents
     may be peptides, peptidomimetics, or non-peptides.
RE.CNT 35
              THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
Г8
     ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ΑN
     2000:68365 CAPLUS
DN
     132:122932
ΤI
     Preparation of peptides, peptidomimetics, and nonpeptides as medical and
     agrochemical antifungals.
ΙN
     Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi,
     Krishna; Pal, Kollol
PΑ
     Mitotix, Inc., USA
SO
     PCT Int. Appl., 287 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 2
     PATENT NO.
                        KIND
                                 DATE APPLICATION NO.
                                                                       DATE
                                             _____
     _____
                         ____
                                 _____
                                                                      _____

      WO 2000003743
      A2 20000127

      WO 2000003743
      A3 20010201

                                 20000127
                                             WO 1999-US16146
PΙ
                                                                       19990715
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                     19981015
     US 6423519
                          B1 20020723 US 1998-172845
```

```
CA 2335381
                                20000127
                                            CA 1999-2335381
                                                                   19990715
                          Δ1
     AU 9951075
                                20000207
                                            AU 1999-51075
                          Α
                                                                   19990715
     EP 1096925
                                20010509
                                            EP 1999-935639
                         Α2
                                                                   19990715
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002520372
                         Т
                                20020709
                                            JP 2000-559877
                                                                   19990715
                          Α
PRAI US 1998-115846
                                19980715
     US 1998-172845
                          Α
                                19981015
     WO 1999-US16146
                          W
                                19990715
OS
     MARPAT 132:122932
GΙ
```

$$HS$$
 $H_2N$ 
 $H$ 
 $N$ 
 $Ph$ 
 $N$ 
 $CO_2H$ 
 $O$ 

AB A method for inhibiting the growth of a fungal pathogen comprises contacting the pathogen with a compound, e.g.,  $(R70) \, 2NCH [\, (CH2) \, nR] \, C \, (Xa) \, NHCHR72C \, (Xb) \, NHCHR73C \, (Xc) \, NHCHR10CO2R11 \, [Xa, \, Xb, \, Xc = 0, \, H2; \, R = SR1, \, SOR111, \, SO2R111; \, R1 = H, \, alkyl, \, alkenyl, \, aryl, \, acyl; \, R10 = alkyl, \, alkenyl, \, alkynyl, \, aryl, \, cycloalkyl, \, hydroxyalkyl, \, amino acid sidechain, etc.; \, R11 = H, \, blocking group, \, pharmaceutically acceptable salt; \, R10R11 = atoms to form 5-7 membered ring; \, R111 = alkyl, \, alkenyl, \, (CH2)mR7; \, R70 = H, \, alkyl, \, alkenyl, \, alkynyl, \, aryl, \, acyl, \, amino acid sidechain, \, etc.; \, R72, \, R73 = H, \, alkyl, \, aryl, \, heteroaryl, \, amino acid sidechain, \, (CH2)mR7, \, etc.; \, m, \, n = 0-4], \, which inhibits prenyl transferase activity with MIC50<25 <math display="inline">\mu g/mL$ . Thus, title compound (I) (solution phase preparation given) inhibited GGTase with IC50<10 nM.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

L8 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:610363 CAPLUS

DN 127:205472

OREF 127:39943a,39946a

TI Preparation of pyrrolidinealkanoates and analogs as bradykinin antagonists

IN Wagner, Adalert; Breipohl, Gerhard; Heitsch, Holger; Gerhards, Hermann; Noelken, Gerhard; Wirth, Klaus; Schoelkens, Bernward

PA Hoechst A.-G., Germany

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
 DE 19603767 DE 1996-19603767	A1	19970807 19960202	DE 1996-19603767	19960202

AB Title compds. [e.g., I; R = CHR2COR1; R1 = OH, alkoxy, alkylaryloxy, (di)(alkyl)amino, etc.; R2 = (cyclo)alk(en)yl, aryl, etc.; R3 = H, (cyclo)alkyl, aralkyl, etc.; R6 = e.g., CH2C6H4(CH2NR4R5)-4; R4 = H, alkyl, alkoxycarbonyl, amidino, etc.; R5 = H, 1-acyl-4-phenyl-4-piperidinylcarbonyl, etc.] were prepared Thus, Et 2-pyrrolidinylideneacetate was alkylated by 2-bromomethylnaphthalene and the product N-alkylated by 4-(Me3CO2CNH)C6H4CH2OSO2Me (preparation given) to give, after reduction, I [R = CHR2COR1, R1 = OEt, R2 = 2-naphthylmethyl, R6 = 4-(Me3CO2CNH)C6H4CH2]. Data for biol. activity of I were given.

L8 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1974:505305 CAPLUS

DN 81:105305

OREF 81:16651a,16654a

TI 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid derivatives

IN Briggs, Frederick B.

PA G.D. Searle and Co.

SO Brit., 11 pp. Division of Brit. 1,356,117. CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1356118	A	19740612	GB 1971-57390	19701216
PRAI	GB 1971-57390	A	19701216		

GI For diagram(s), see printed CA Issue.

AB Seventeen title derivs. I.HCl (R = heteroaryloxy, substituted phenoxy, amino, hydrazino, alkoxy, and alkylthio) diarrhea inhibitors which also counteract the withdrawal symptoms associated with chronic psychotropic drug intoxication (no data), were prepared from the title acid I (R = OH). I possess analgesic, antiprotozoal, antibacterial, antifungal, and anthelmintic activity (no data).

L8 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1972:539819 CAPLUS

DN 77:139819

OREF 77:22985a,22988a

TI 1-(3-Cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid derivatives

IN Kreider, Eunice M. S.

PA G.D. Searle and Co.

SO Ger. Offen., 35 pp. CODEN: GWXXBX

DT Patent

LA German

L WIN .	CNT 2 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2161827	 А	19720706	DE 1971-2161827	19711213
	GB 1356117	A	19740612	GB 1970-59686	19701216
	CA 947296	A1	19740514	CA 1971-129748	19711209
	BE 776644	A1	19720613	BE 1971-111627	19711213
	BE 776645	A1	19720613	BE 1971-111628	19711213
	NL 7117061	A	19720620	NL 1971-17061	19711213
	NL 7117062	A	19720620	NL 1971-17062	19711213
	FR 2118060	A5	19720728	FR 1971-44705	19711213
	FR 2118060	В1	19751031		
	FR 2118061	A5	19720728	FR 1971-44706	19711213
	FR 2118061	В1	19751010		
	AU 7136783	A	19730614	AU 1971-36783	19711213
	AU 7136784	A	19730614	AU 1971-36784	19711213
	DK 130966	В	19750512	DK 1971-6076	19711213
	СН 572037	A5	19760130	CH 1971-18174	19711213
	CH 572920	A5	19760227	CH 1971-18173	19711213
	CH 572922	A5	19760227	CH 1974-16946	19711213
	CH 572923	A5	19760227	CH 1974-16947	19711213
	DK 136037	В	19770801	DK 1971-6075	19711213
	JP 55042996	В	19801104	JP 1971-100937	19711213
	ZA 7108379	A	19730228	ZA 1971-8379	19711214
	ZA 7108380	A	19730228	ZA 1971-8380	19711214
	SE 370542	В	19741021	SE 1971-15978	19711214
	SE 370543	В	19741021	SE 1971-15979	19711214
	US 3843646	A	19741022	US 1971-208445	19711215
	US 3847923	А	19741112	US 1971-208442	19711215
	US 3959275	A	19760525	US 1974-473750	19740528
	JP 55120584	Α	19800917	JP 1980-7378	19800124
	JP 56004556	В	19810130		
	JP 55127388	A	19801002	JP 1980-7379	19800124
	JP 56006429	В	19810210		
PRAI		А	19701216		
	US 1971-208442	А3	19711215		
OS	MARPAT 77:139819				

GI For diagram(s), see printed CA Issue.

AB Eighteen title compds. [I, e.g. R=2-pyridyloxy, 2-pyridylmethoxy, 2,4,5-Cl3C6H2O (II), 3,4-Me(MeS)C6H3I, 2,4-Cl2C6H3S, PhCH2S, phthalimidomethoxy, Me2NNH, 4-MeOC6H4NH and (or) their mono- or dihydrochlorides, useful as antidiarrheal drugs, were prepared by reaction of I (R=0H or Cl) with RH. Thus, 2,4,5-Cl3C6H2OH and dicyclohexylcarbodiimide were added to I (R=0H) in DMF and the mixture was stirred 24 hr to give II.

REP G1=(0-5) CH ENTER (DIS), GRA, NOD, BON OR ?:end L11 STRUCTURE CREATED

=> search 111
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:12
'L2' IS NOT A VALID SEARCH TYPE
For an explanation, enter "HELP SEARCH TYPES".
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):12
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 09:35:42 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 320 TO ITERATE

100.0% PROCESSED 320 ITERATIONS 94 ANSWERS SEARCH TIME: 00.00.01

L12 94 SEA SUB=L2 SSS FUL L11

=> fil caplus COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION FULL ESTIMATED COST 44.48 219.93 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE -8.20 0.00

FILE 'CAPLUS' ENTERED AT 09:35:46 ON 09 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing

of this information, without the prior written consent of CAS, is strictly prohibited. FILE COVERS 1907 - 9 Feb 2009 VOL 150 ISS 7 FILE LAST UPDATED: 8 Feb 2009 (20090208/ED) Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008. CAS Information Use Policies apply and are available at: http://www.cas.org/legal/infopolicy.html This file contains CAS Registry Numbers for easy and accurate substance identification. => s 112 16 L12 T.13 => d bib 1-16L13 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN 2008:159036 CAPLUS DN 148:215065 TΙ Preparation of heterocyclic urotensin II receptor antagonists for use in therapy Ghosh, Shyamali; Kinney, William A.; Lawson, Edward C.; Luci, Diane K.; ΙN Maryanoff, Bruce E.; Sommen, Francois Maria; Pan, Yongchun PAJanssen Pharmaceutica, N.V., Belg. PCT Int. Appl., 133pp. SO CODEN: PIXXD2 DTPatent English LA FAN.CNT 1 KIND DATE APPLICATION NO. PATENT NO. DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ A1 20080207 WO 2007-US16806 PΙ WO 2008016534 20070726 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 20080039454 A1 20080214 US 2007-881268 20070726 PRAI US 2006-834720P Ρ 20060731 MARPAT 148:215065

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:1279243 CAPLUS

DN 148:112275

TI Phenylpiperidine-benzoxazinones as urotensin-II receptor antagonists: Synthesis, SAR, and in vivo assessment

AU Luci, Diane K.; Ghosh, Shyamali; Smith, Charles E.; Qi, Jenson; Wang,

- Yuanping; Haertlein, Barbara; Parry, Tom J.; Li, Jian; Almond, Harold R.; Minor, Lisa K.; Damiano, Bruce P.; Kinney, William A.; Maryanoff, Bruce E.; Lawson, Edward C.
- CS Research & Early Development, Johnson & Johnson Pharmaceutical Research & Development, Spring House, PA, 19477-0776, USA
- SO Bioorganic & Medicinal Chemistry Letters (2007), 17(23), 6489-6492 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:112275
- RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:904107 CAPLUS
- DN 145:454919
- TI Solid-phase synthesis of 4-biaryl-piperidine-4-carboxamides
- AU Zhu, Jin; Pottorf, Richard S.; Player, Mark R.
- CS Johnson & Johnson Pharmaceutical Research and Development, L.L.C., Cranbury, NJ, 08512, USA
- SO Tetrahedron Letters (2006), 47(40), 7267-7270 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 145:454919
- RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:655838 CAPLUS
- DN 145:124560
- TI Preparation of pyrazolones as metabotropic glutamate receptor agonists for the treatment of neurological and psychiatric disorders
- IN Balestra, Michael; Bunting, Heather; Chen, Deborah; Egle, Ian; Forst, Janet; Frey, Jennifer; Isaac, Methvin; Ma, Fupeng; Nugiel, David; Slassi, Abdelmalik; Steelman, Gary; Sun, Guang-Ri; Sundar, Babu; Ukkiramapandian, Radhakrishnan; Urbanek, Rebecca A.; Walsh, Sally
- PA Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.
- SO PCT Int. Appl., 332 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	. OV		D	ATE	
						_									_		
ΡI	WO 2006	0717	30		A1		2006	0706	1	WO 2	005-	US46	606		2	0051	222
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{,}$	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
	KG, KZ, MD, AU 2005322173			A1		2006	0706		AU 2	005-	3221	73		2	0051	222	

```
CA 2591003 A1 20060706 CA 2005-2591003 20051222 EP 1833800 A1 20070919 EP 2005-855204 20051222
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
                       T
                                            JP 2007-548474
     JP 2008525478
                               20080717
                                                                      20051222
     BR 2005017423
                          Α
                                 20081007
                                             BR 2005-17423
                                                                       20051222
                                            IN 2007-DN4444
     IN 2007DN04444
                          A
                                20070824
                                                                      20070611
                         A 20070927
A 20070820
A 20071105
A 20080220
P 20041227
W 20051222
                                            NO 2007-3019
     NO 2007003019
                                                                      20070613
     MX 2007007220
                                            MX 2007-7220
                                                                      20070614
                                             KR 2007-713684
     KR 2007106690
                                                                      20070615
     CN 101128435
                                             CN 2005-80048198
                                                                      20070817
PRAI US 2004-638369P
WO 2005-US46606
     MARPAT 145:124560
RE.CNT 16
               THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
     2005:303504 CAPLUS
ΑN
     142:355172
DΝ
ΤI
     Preparation of pyridinyl ureas as urotensin II antagonists
     Mathys, Boris; Mueller, Claus; Scherz, Michael; Weller, Thomas; Clozel,
ΙN
     Martine; Velker, Joerg; Bur, Daniel
PΑ
     Actelion Pharmaceuticals Ltd., Switz.
     PCT Int. Appl., 113 pp.
SO
     CODEN: PIXXD2
     Patent
DT
LA
     English
FAN.CNT 1
                                                                     DATE
     PATENT NO. KIND DATE APPLICATION NO.
                         ----
     WO 2005030209
                          A1 20050407 WO 2004-EP10559
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     AU 2004275488
                           Α1
                                  20050407
                                            AU 2004-275488
                                                                      20040921
     CA 2540196
                                 20050407
                                            CA 2004-2540196
                           Α1
                                                                      20040921
                                            EP 2004-765436
     EP 1670470
                           A1
                               20060621
                                                                     20040921
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
     CN 1856305
                                            CN 2004-80027725
                                  20061101
                          Α
                                                                     20040921
     BR 2004014777
                                  20061121
                                              BR 2004-14777
                           Α
                                                                       20040921
     JP 2007506692
                          Τ
                                              JP 2006-527332
                                 20070322
                                                                      20040921
MX 2006003264 A 20060608

KR 2007014108 A 20070131

NO 2006001395 A 20060622

US 20070043081 A1 20070222

IN 2006CN01415 A 20070622

PRAI WO 2003-EP10746 A 20030926

WO 2004-EP10559 W 20040921

OS CASREACT 142:355172: MARBORT 142:3551
                                              MX 2006-3264
                                                                       20060323
                                              KR 2006-705848
                                              NO 2006-1395
                                                                       20060327
                                            NO 2006-1395
US 2006-573516
IN 2006-CN1415
                                                                      20060327
                                                                       20060425
     CASREACT 142:355172; MARPAT 142:355172
```

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
AN
      2004:878288 CAPLUS
     141:366228
DN
ΤI
     Preparation of 4-phenyl-4-(imidazol-2-yl)piperidine derivatives as
      selective non-peptide \delta-opioid agonists for treatment of depression
      and anxiety
IN
      Steckler, Thomas Horst Wolfgang; Janssens, Frans Eduard; Leenaerts, Joseph
      Elisabeth; Fernandez-Gadea, Francisco Javier; Gomez-Sanchez, Antonio;
     Meert, Theo Frans
      Janssen Pharmaceutica N.V., Belg.
PA
SO
      PCT Int. Appl., 63 pp.
      CODEN: PIXXD2
DT
      Patent
     English
LA
FAN.CNT 1
                           KIND DATE APPLICATION NO.
      PATENT NO.
     WO 2004089372 A1 20041021
                                                   ______
                            A1 20041021 WO 2004-EP50492 20040408
PΙ
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN,
               SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
               TD, TG
                                                 AU 2004-228960
      AU 2004228960
                                     20041021
                             A1
                                                                               20040408
      CA 2521186
                             A1
                                     20041021 CA 2004-2521186
                                                                               20040408
                                                  EP 2004-726520
      EP 1615644
                             A1
                                  20060118
                                                                               20040408
                                     20070214
      EP 1615644
                             В1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                          T
      JP 2006522775
                                   20061005 JP 2006-505540 20040408
      AT 353649
                             Τ
                                    20070315
                                                  AT 2004-726520
                                                                              20040408
      ES 2282858
                             Т3
                                  20071016
                                                  ES 2004-726520
                                                                              20040408
US 20060287345
PRAI WO 2003-EP3879
                            A1
                                    20061221
                                                  US 2005-552527
                                                                              20051011
                            A 200501
W 20040408
     WO 2004-EP50492
     MARPAT 141:366228
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
      2004:41442 CAPLUS
AN
DN
      140:111281
      Preparation of substituted piperidines as NK1 receptor ligands
TI
      Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini,
IN
      Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira
PΑ
      Glaxo Group Limited, UK; Di Fabio, Romano
     PCT Int. Appl., 129 pp.
      CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                                 APPLICATION NO.
     PATENT NO.
                            KIND DATE
                                                                              DATE
     _____
                            ----
                                     _____
                                                   _____
                                                                               _____
   WO 2004005256
                                                  WO 2003-EP7127
                            A2 20040115
PΙ
                                                                               20030702
```

L13 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

```
20041014
     WO 2004005256
                        А3
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003257433
                                20040123
                                         AU 2003-257433
                                                                 20030702
                         Α1
     EP 1558577
                         Α2
                                20050803
                                          EP 2003-762615
                                                                   20030702
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005535650
                         Τ
                               20051124
                                         JP 2004-518696
                                                                 20030702
     US 20060128752
                                            US 2006-520143
                                20060615
                                                                   20060117
                         Α1
PRAI GB 2002-15393
                                20020703
                         Α
     GB 2003-6454
                                20030320
                         Α
     WO 2003-EP7127
                                20030702
                          W
     MARPAT 140:111281
              THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
L13
     2003:454318 CAPLUS
ΑN
     139:36450
DN
ΤI
     Preparation of 4-[(piperidylalkyl)ureido]quinolines,
     4-[(pyrrolidylalkyl)ureido]quinolines, and analogs as urotensin II
     receptor antagonists
     Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Mathys, Boris;
IN
     Mueller, Claus; Nayler, Oliver; Scherz, Michael; Velker, Joerg; Weller,
     Thomas
     Actelion Pharmaceuticals Ltd., Switz.
PA
SO
     PCT Int. Appl., 139 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                       KIND
                                DATE
                                          APPLICATION NO. DATE
     PATENT NO.
                        ____
    WO 2003048154
                        A1 20030612
                                         WO 2002-EP13577 20021202
РΤ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2473892
                          Α1
                                20030612
                                          CA 2002-2473892
                                                                   20021202
     AU 2002358071
                          Α1
                                20030617
                                            AU 2002-358071
                                                                   20021202
     AU 2002358071
                         В2
                                20080612
     EP 1499607
                                20050126
                                           EP 2002-791749
                                                                   20021202
                         Α1
                               20051207
     EP 1499607
                         В1
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     HU 2004002184
                        A2 20050228 HU 2004-2184
                                                                   20021202
     CN 1617869
                          Α
                                20050518
                                           CN 2002-827776
                                                                   20021202
```

```
CN 100424082 C 20081008
AT 312090 T 20051215 AT 2002-791749 20021202
NZ 534046 A 20060224 NZ 2002-534046 20021202
ES 2254772 T3 20060616 ES 2002-791749 20021202
NO 2004002844 A 20040823 NO 2004-2844 20040705
MX 2004006599 A 20041207 MX 2004-6599 20040705
ZA 2004005348 A 20051012 ZA 2004-5348 20040705
US 20050043535 A1 20050224 US 2004-501054 20040915
US 7375227 B2 20080520
PRAI WO 2001-EP14195 A 20011204
WO 2002-EP13577 W 20021202
OS MARPAT 139:36450
 OS MARPAT 139:36450
 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
```

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L13 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:376549 CAPLUS
- 138:385306 DN
- TI Preparation of substituted 4-phenyl-4-(1H-imidazol-2-yl)piperidine derivatives for reducing ischemic damage
- ΙN Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Fernandez-Gadea, Francisco Javier; Gomez-Sanchez, Antonio; Flameng, Willem; Herijgers, Paul Joannes Ludovicus; Meert, Theo Frans; Borgers, Marcel J. M.
- Janssen Pharmaceutica N.V., Belg. PA
- PCT Int. Appl., 75 pp. SO
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.			NO.			KIN	)	DATE			APP1	LICAT	ION I	NO.		D	ATE	
PI		2003 2003					_	2003 2003	0515 1218		WO 2	2002-	EP11.	371		2	0021	010
		W:	AE, CO, GM, LS, PL,	AG, CR, HR, LT, PT,	AL, CU, HU, LU, RO,	AM, CZ, ID, LV, RU,	AT, DE, IL, MA, SD,	AU, DK, IN, MD,	AZ, DM, IS, MG, SG,	DZ, JP, MK, SI,	EC, KE, MN, SK,	, BG, , EE, , KG, , MW, , SL,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,
		RW:	GH, KG, FI,	GM, KZ, FR,	KE, MD, GB,	LS, RU, GR,	MW, TJ, IE,	MZ, TM, IT,	SD, AT, LU,	SL, BE, MC,	SZ, BG, NL,	, TZ, , CH, , PT,	CY, SE,	CZ, SK,	DE, TR,	DK,	EE,	ES,
	CG, CI, CN CA 2462374			,	,	,	~ ,		,	,	2002-	,	,		2	0021	010	
	CA 2462374 AU 2002363369				A1		2003	0519										
	AU 2002363369 AU 2002363369				В2		2008	0821										
		1438	049			A2		2004	0721		EP 2	2002-	7990	40		2	0021	010
	ΕP	1438	049			В1		2006	1122									
		R:										, IT, , TR,					MC,	PT,
	BR	2002						2004	1013		BR 2	2002-	1332	5		2	0021	010
	_	1568	186			A C			-		CN 2	2002-	8202	96		2	0021	010
		1283	252			С		2006										
		2004						2005				2004-					0021	
		2005		43				2005				2003-					0021	
	NZ 531733 AT 345799				A		2006				2002-					0021		
								2006				2002-					0021	
	ES 2276980			_		2007			-	2002- 2004-:		-			0021			
	IN 2004DN00917			A.						2004 2004								
	ZA 2004002816			A						2004 2004					0040			
	MX 2004003480					7.7		_ 0 0 1	0,00				0 100			_	0010	

```
US 20050004170 A1 20050106 US 2004-492778
                                                                                                      20040415
        US 7390822 B2 20080624
NO 2004001681 A 20040423
                                                                 NO 2004-1681
                                                                                                      20040423
        HK 1072562 A1 20070622
EP 2001-203927 A 20011015
WO 2002-EP11371 W 20021010
                                                                 HK 2005-105375
                                                                                                      20050628
PRAI EP 2001-203927
OS
        MARPAT 138:385306
                      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 6
                      ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
        2003:319889 CAPLUS
DN
        138:338147
        Preparation of 4-phenyl-4-[1H-imidazol-2-yl]piperidine derivatives as
ΤI
        selective non-peptide \delta\text{-opioid} agonists for treatment of pain
        Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Fernandez-Gadea,
IN
        Francisco Javier; Gomez-Sanchez, Antonio; Meert, Theo Frans
PΑ
        Janssen Pharmaceutica N.V., Belg.
        PCT Int. Appl., 57 pp.
SO
        CODEN: PIXXD2
DT
        Patent
        English
       WO 2003033486 A1 200
FAN.CNT 1
             ENT NO. KIND DATE APPLICATION NO. DATE

20030333486 A1 20030424 W0 2002-EP11372 20021010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
PΙ
                    CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                    LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
                    PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
                    UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
              RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                    KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
                    FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
                    CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                 A1 20030424 CA 2002-2462953
        CA 2462953
                                                                                                      20021010
                                 A1 20030428 AU 2002-346994
B2 20070906
        AU 2002346994
                                                                                                      20021010
        AU 2002346994
        EP 1438304
                                     A1 20040721
B1 20061206
                                                                EP 2002-782881
                                                                                                      20021010
        EP 1438304
              R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
TE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002013327 A 20041013 BR 2002-13327 20021010
CN 1568321 A 20050119 CN 2002-820327 20021010
CN 100354273 C 20071212
JP 2005505625 T 20050224 JP 2003-536226 20021010
NZ 531679 A 20050225 NZ 2002-531679 20021010
HU 2006000447 A2 20060928 HU 2006-447 20021010
HU 2006000447 A3 20080328
AT 347549 T 20061215 AT 2002-782881 20021010
ES 2278065 T3 20070801 ES 2002-782881 20021010
US 20040260096 A1 20041223 US 2004-491379 20040331
US 7282508 B2 20071016
IN 2004DN00915 A 20070302 IN 2004-DN915 20040408
ZA 2004002818 A 20050413 ZA 2004-2818 20040413
MX 2004003479 A 20040730 MX 2004-3479 20040413
MX 2004003479 A 20040730 MX 2004-3479 20040414
NO 2004001666 A 20040422 NO 2004-1666 20040422
US 20080096925 A1 20080424 US 2007-753830 20070525
PRAI EP 2001-203926 A 20011015
WO 2002-EP11372 W 20021010
                    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
```

A1 20040331 US 2004-491379 OS MARPAT 138:338147 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L13 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN ΑN 2002:813930 CAPLUS DN137:325334 ΤI Preparation of anyl and biaryl piperidines as MCH antagonists Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, INSuresh D.; Shao, Yuefei PAPharmacopeia, Inc., USA SO PCT Int. Appl., 113 pp. CODEN: PIXXD2 DT Patent English LAFAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE -----WO 2002083134 ----\_\_\_\_\_ A1 20021024 WO 2002-US11296 20020410 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG A1 20021024 CA 2002-2443672 20020410 A1 20021028 AU 2002-303299 20020410 A1 20030116 US 2002-120080 20020410 CA 2443672 AU 2002303299 US 20030013720 В2 US 6887889 20050503 EP 1377293 A1 20040107 EP 2002-731318 20020410 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004526761 T 20040902 JP 2002-580938 20020410 PRAI US 2001-283523P P 20010412
WO 2002-US11296 MX 2003-9353 20031010 MARPAT 137:325334 RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L13 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN 2000:441796 CAPLUS ΑN DN 133:74016 ΤI preparation of spirotricyclic compounds as H1 receptor antagonists Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth ΙN Janssen Pharmaceutica N.V., Belg. PΑ PCT Int. Appl., 64 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. \_\_\_\_\_ WO 2000037470 A1 20000629 WO 1999-EP10176 19991215 PΙ W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,

```
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
              RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
                     DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                     CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
        CA 2355939
                                       A1 20000629 CA 1999-2355939
                                                                                                            19991215
        BR 9916371
                                         A
                                                  20010918
                                                                     BR 1999-16371
                                                                                                            19991215
                                                                     EP 1999-964625
        EP 1144411
                                        A1
                                                 20011017
                                                                                                            19991215
                                                 20050427
        EP 1144411
                                        В1
                  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                     IE, SI, LT, LV, FI, RO
        TR 200101711 T2 20011221
                                                                      TR 2001-1711
                                                                                                            19991215
                                   A2 20020429
A3 20031229
A 20020815
        HU 2001004779
                                       A2 20020429
                                                                     HU 2001-4779
                                                                                                            19991215
        HU 2001004779
      HU 2001004779
EE 200100328
A 20020815
EE 4917
B1 20071015
JP 2002533344
T 20021008
AU 764820
B2 20030828
AU 2000-589540
AU 764820
AU 2512870
AU 20031128
AU 2009-589540
AU 2000-30412
AU 294178
AU 294178
AU 20050515
AU 1999-512870
AU 20050515
AU 1999-964625
BI 20050930
BI 1999-964625
ES 2242443
BI 200501101
ES 1999-964625
ES 2242443
CU 1258533
C 20060607
CU 1999-814705
PL 196262
BI 20071231
FL 1999-348295
SK 286158
B6 20080407
SK 2001-814
TW 250981
BI 20050304
TIV 250981
BI 20050304
TIV 2999-88122194
TIV 2001MN00441
A 20050304
TIV 2001-MN441
BG 105546
A 20011231
BG 2001-105546
BG 65133
B1 20070330
NO 2001002710
A 20010601
NO 2001-2710
NO 318891
B1 20070330
NO 2001002710
A 20010601
NO 2001-2710
NO 318891
B1 20050518
HR 200100453
A1 20020630
HR 2001-453
MX 2001006244
A 20010910
MX 2001-6244
ZA 200104977
A 20020618
TA 2001-453
HK 1043128
A1 20070119
HK 2002-104999
US 20050026901
A1 20050203
US 2004-898844
US 7087595
B2 20060808
EP 1998-204347
A 19981219
WO 1999-EP10176
W 19991215
US 2001-868535
ANAPPAT 133.74016
        EE 200100328
                                                 20020815
                                                                     EE 2001-328
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                  PT 1999-964625

ES 1999-964625

CN 1999-814705

PL 1999-348295

SK 2001-814

TW 1999-88122194

IN 2001-MN441

BG 2001-105546
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991215
                                                                                                            19991217
                                                                                                            20010423
                                                                                                            20010529
                                                                                                            20010601
                                                                                                            20010615
                                                                                                            20010618
                                                                                                           20010618
                                                                                                          20010726
                                                                                                           20020703
                                                                   US 2004-898844
                                                                                                           20040726
PRAI EP 1998-204347
                                       A1 20010726
        US 2001-868535
       MARPAT 133:74016
RE.CNT 3
                      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
                      ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
       1980:407970 CAPLUS
AN
        93:7970
DN
OREF 93:1455a,1458a
ΤI
        Synthesis of some amides of 1-butyl-4-phenylpiperidine-4-carboxylic acid
        Chodkowski, Andrzej; Gutkowska, Bozena
ΑU
CS
        Dep. Chem. Technol. Pharm. Prod., Sch. Med., Warsaw, Pol.
SO
        Acta Poloniae Pharmaceutica (1979), 36(4), 439-42
        CODEN: APPHAX; ISSN: 0001-6837
DT
        Journal
LA
       Polish
       CASREACT 93:7970
L13 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
AN
        1974:505305 CAPLUS
        81:105305
DN
OREF 81:16651a,16654a
```

```
1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid
 TΤ
         derivatives
 ΙN
        Briggs, Frederick B.
 PA G.D. Searle and Co.
 SO Brit., 11 pp. Division of Brit. 1,356,117.
        CODEN: BRXXAA
DT Patent
 LA English
 FAN.CNT 1
                                                                      APPLICATION NO.
         PATENT NO.
                                           KIND DATE
 PI GB 1356118
                                             A 19740612 GB 1971-57390
                                                                                                                       19701216
 PRAI GB 1971-57390
                                             А
                                                       19701216
 L13 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1972:539819 CAPLUS
 DN 77:139819
OREF 77:22985a,22988a
 TI 1-(3-Cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid
         derivatives
 ΙN
         Kreider, Eunice M. S.
 PA
         G.D. Searle and Co.
 SO
         Ger. Offen., 35 pp.
         CODEN: GWXXBX
 DT
         Patent
 LA
         German
 FAN.CNT 2
         PATENT NO.
                                                                            APPLICATION NO.
                                                                                                                       DATE
                                                         _____
                                                                                                                         _____
        DE 2161827
GB 1356117
A 19740612
GB 1970-59686
CA 947296
A1 19740514
CA 1971-129748
BE 776644
A1 19720613
BE 1971-111627
BE 776645
A1 19720613
BE 1971-111628
NL 7117061
A 19720620
NL 1971-17061
NL 7117062
A 19720620
NL 1971-17062
FR 2118060
B1 19751031
FR 2118061
A5 19720728
FR 1971-44706
FR 2118061
B1 19751010
AU 7136783
AU 7136783
AU 7136784
DK 130966
B 19750512
DK 1971-36784
DK 130966
CH 572037
A5 19760227
CH 1971-18173
CH 572920
A5 19760227
CH 1974-16946
CH 572923
A5 19760227
CH 1974-16947
DK 136037
B 19770801
DK 1971-6075
JP 55042996
B 19801104
JP 1971-100937
         DE 2161827
                                           A 19720706 DE 1971-2161827 19711213
A 19740612 GB 1970-59686 19701216
 PΙ
                                                                                                                       19711209
                                                                                                                       19711213
                                                                                                                       19711213
                                                                                                                        19711213
                                                                                                                        19711213
                                                                                                                        19711213
                                                                                                                        19711213
                                                                                                                 19711213
19711213
                                                                                                                       19711213
                                                                                                                       19711213
                                                                                                                       19711213
                                                       19771213

19771213

19770801 DK 1971-6075 19711213

19801104 JP 1971-100937 19711213

19730228 ZA 1971-8379 19711214

19730228 ZA 1971-8380

19741021 SF 1077
DK 136037

DK 136037

B 19770801

DK 1971-6075

19711213

JP 55042996

B 19801104

JP 1971-100937

19711213

ZA 7108379

A 19730228

ZA 1971-8379

19711214

ZA 7108380

A 19730228

ZA 1971-8380

19711214

SE 370542

B 19741021

SE 1971-15978

19711214

SE 370543

B 19741021

SE 1971-15979

19711214

US 3843646

A 19741022

US 1971-208445

19711215

US 3959275

A 19760525

US 1974-473750

19740528

JP 55120584

A 19800917

JP 1980-7378

19800124

JP 56006429

B 19810130

JP 56006429

B 19810210

PRAI GB 1970-59686

A 19701216
```

US 1971-208442 A3 19711215

OS MARPAT 77:139819

L13 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1962:53345 CAPLUS

DN 56:53345

OREF 56:10107f-i,10108a-i,10109a-i,10110a-i

TI 1-Aroylalkyl-4-arylpiperidine-4-carboxamides

IN Janssen, Paul A. J.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	BE 601228			BE	19610331
	GB 931789			GB	
	US 3097209		19630709	US 1960-14570	19600314
PRAI	BE		19610331		

(FILE 'HOME' ENTERED AT 09:22:47 ON 09 FEB 2009) FILE 'REGISTRY' ENTERED AT 09:22:58 ON 09 FEB 2009 ACTIVATE CC/520143/A CC520143/A \_\_\_\_\_ L1STR L2 416 SEA FILE=REGISTRY SSS FUL L1 L3 L411 SEARCH L3 SSS SUB=L2 FUL L5 405 S L2 NOT L4 L6 STRUC L7 287 SEARCH L6 SSS SUB=L5 FUL FILE 'CAPLUS' ENTERED AT 09:26:34 ON 09 FEB 2009 L8 10 S L7 FILE 'STNGUIDE' ENTERED AT 09:27:21 ON 09 FEB 2009 FILE 'CAPLUS' ENTERED AT 09:29:20 ON 09 FEB 2009 FILE 'REGISTRY' ENTERED AT 09:30:36 ON 09 FEB 2009 L9 STRUC L10 O SEARCH L9 SSS SUB=L2 FUL FILE 'REGISTRY' ENTERED AT 09:35:01 ON 09 FEB 2009 L11 STRUC L12 94 SEARCH L11 SSS SUB=L2 FUL FILE 'CAPLUS' ENTERED AT 09:35:46 ON 09 FEB 2009 16 S L12 L13 FILE 'STNGUIDE' ENTERED AT 09:36:44 ON 09 FEB 2009 FILE 'CAPLUS' ENTERED AT 09:37:12 ON 09 FEB 2009 => s 113 and 18 L14 5 L13 AND L8 => d bib abs 1-5L14 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN 2006:904107 CAPLUS ΑN 145:454919 DΝ Solid-phase synthesis of 4-biaryl-piperidine-4-carboxamides ΤТ Zhu, Jin; Pottorf, Richard S.; Player, Mark R. ΑU Johnson & Johnson Pharmaceutical Research and Development, L.L.C., CS Cranbury, NJ, 08512, USA Tetrahedron Letters (2006), 47(40), 7267-7270 SO CODEN: TELEAY; ISSN: 0040-4039 Elsevier Ltd. PΒ DTJournal LA English OS CASREACT 145:454919 A novel solid-phase synthesis of 4-biarylpiperidine-4-carboxamides was developed using FDMP [2-(3,5-dimethoxy-4-formylphenoxy)ethoxymethyl] resin with a carboxamide as the anchor point. With this approach, three points of diversity were incorporated into a GPCR- (G-protein coupled receptor) directed scaffold. Final products were obtained in good purity and yield.

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE.CNT 10

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L14 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
       2004:41442 CAPLUS
AN
       140:111281
DN
       Preparation of substituted piperidines as NK1 receptor ligands
TI
IN
       Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini,
       Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira
PA
       Glaxo Group Limited, UK; Di Fabio, Romano
       PCT Int. Appl., 129 pp.
SO
       CODEN: PIXXD2
DT
       Patent
       English
LA
FAN.CNT 1
                                                                APPLICATION NO.
       PATENT NO.
                                   KIND DATE
                                                                                                   DATE
                                    ____
                                               _____
                                                                 _____
                                                                WO 2003-EP7127
       WO 2004005256
                                     A2
                                                20040115
                                                                                                    20030702
PΙ
                                     A3 20041014
       WO 2004005256
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 2003257433

A1 20040123 AU 2003-257433
                                      A1 20040123 AU 2003-257433 20030702
A2 20050803 EP 2003-762615 20030702
       AU 2003257433
       EP 1558577
             R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                   IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                             20051124 JP 2004-518696 20030702
       JP 2005535650
                                     {
m T}
                                     A1 20060615
                                                                US 2006-520143
       US 20060128752
                                                                                                   20060117
                                     A 20020703
A 20030320
W 20030702
PRAI GB 2002-15393
       GB 2003-6454
       WO 2003-EP7127
OS
       MARPAT 140:111281
GΙ
```

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [R = alkyl, cyano, alkoxy, etc.; R1 = H, halo, cycloalkyl, OH, etc.; R2 = H, alkyl; R3-4 = H, CN, alkyl, etc.; R5 = CF3, SOO-2, alkyl, etc.; R6 = H, alkyl; m = 1-4; n = 1-2; p = 0-3; q = 1-3] are prepared For instance, 4-carboxymethyl-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-Bu ester (preparation given) is coupled to 3,5- (DMF, EDCI, HOBt) and deprotected (CH2Cl2, TFA) to give II. Example compds. inhibit (rat) serotonin transporter with pIC50 in the range of 7.50 5.30. I are useful in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.
- RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L14 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:813930 CAPLUS
- DN 137:325334
- TI Preparation of aryl and biaryl piperidines as MCH antagonists

```
Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu,
ΙN
     Suresh D.; Shao, Yuefei
PΑ
```

Pharmacopeia, Inc., USA

PCT Int. Appl., 113 pp. SO CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT	1																
	PA:	TENT	NO.			KIN:					APPL					D	ATE	
ΡI	WO	2002	 0831	 34												2	0020	410
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	HR,	HU,
			ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,
			MG,	MK,	MN,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,
			SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VN,	YU,	ZA,	ZM			
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
	BF, BJ, CF CA 2443672				A1		2002	1024		CA 2	002-	2443	672		2	0020	410	
		2002																
	US	2003	0013	720		A1		2003	0116	1	US 2	002-	1200	80		2	0020	410
		6887																
	ΕP	1377	293			A1		2004	0107		EP 2	002-	7313	18		2	0020	410
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
	JΡ	2004	5267	61		T		2004	0902		JP 2	002-	58093	38		2	0020	410
	MX	2003	0093	53		Α		2004	0212	]	MX 2	003-	9353			2	0031	010
PRAI	US	2001	-283	523P		P		2001	0412									
	WO	2002	-US1	1296		W		2002	0410									
OS	MAI	RPAT	137:	3253.	34													
GI																		

AΒ The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment, prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed Ki of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1974:505305 CAPLUS

DN 81:105305

OREF 81:16651a,16654a

TI 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid derivatives

IN Briggs, Frederick B.

PA G.D. Searle and Co.

SO Brit., 11 pp. Division of Brit. 1,356,117.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1356118	A	19740612	GB 1971-57390	19701216
PRAT	GB 1971-57390	А	19701216		

GI For diagram(s), see printed CA Issue.

AB Seventeen title derivs. I.HCl (R = heteroaryloxy, substituted phenoxy, amino, hydrazino, alkoxy, and alkylthio) diarrhea inhibitors which also counteract the withdrawal symptoms associated with chronic psychotropic drug intoxication (no data), were prepared from the title acid I (R = OH). I possess analgesic, antiprotozoal, antibacterial, antifungal, and anthelmintic activity (no data).

L14 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1972:539819 CAPLUS

DN 77:139819

OREF 77:22985a,22988a

TI 1-(3-Cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid derivatives

IN Kreider, Eunice M. S.

PA G.D. Searle and Co.

SO Ger. Offen., 35 pp.

CODEN: GWXXBX

DT Patent

LA German

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2161827	 A	19720706	DE 1971-2161827	19711213
	GB 1356117	A	19740612	GB 1970-59686	19701216
	CA 947296	A1	19740514	CA 1971-129748	19711209
	BE 776644	A1	19720613	BE 1971-111627	19711213
	BE 776645	A1	19720613	BE 1971-111628	19711213
	NL 7117061	A	19720620	NL 1971-17061	19711213
	NL 7117062	A	19720620	NL 1971-17062	19711213
	FR 2118060	A5	19720728	FR 1971-44705	19711213
	FR 2118060	В1	19751031		
	FR 2118061	A5	19720728	FR 1971-44706	19711213
	FR 2118061	B1	19751010		
	AU 7136783	A	19730614	AU 1971-36783	19711213
	AU 7136784	A	19730614	AU 1971-36784	19711213
	DK 130966	В	19750512	DK 1971-6076	19711213
	CH 572037	A5	19760130	CH 1971-18174	19711213
	СН 572920	A5	19760227	СН 1971-18173	19711213
	CH 572922	A5	19760227	CH 1974-16946	19711213
	СН 572923	A5	19760227	СН 1974-16947	19711213
	DK 136037	В	19770801	DK 1971-6075	19711213
	JP 55042996	В	19801104	JP 1971-100937	19711213

77	7108379	A	19730228	77	1971-8379	19711214
		A				19,11011
ZA	7108380	A	19730228	ZA	1971-8380	19711214
SE	370542	В	19741021	SE	1971-15978	19711214
SE	370543	В	19741021	SE	1971-15979	19711214
US	3843646	A	19741022	US	1971-208445	19711215
US	3847923	A	19741112	US	1971-208442	19711215
US	3959275	A	19760525	US	1974-473750	19740528
JP	55120584	A	19800917	JΡ	1980-7378	19800124
JP	56004556	В	19810130			
JP	55127388	A	19801002	JΡ	1980-7379	19800124
JP	56006429	В	19810210			
PRAI GB	1970-59686	A	19701216			
US	1971-208442	A3	19711215			
OS MAI	RPAT 77:139819					

GI For diagram(s), see printed CA Issue.

AB Eighteen title compds. [I, e.g. R=2-pyridyloxy, 2-pyridylmethoxy, 2,4,5-Cl3C6H2O (II), 3,4-Me(MeS)C6H3I, 2,4-Cl2C6H3S, PhCH2S, phthalimidomethoxy, Me2NNH, 4-MeOC6H4NH and (or) their mono- or dihydrochlorides, useful as antidiarrheal drugs, were prepared by reaction of I (R=0H or Cl) with RH. Thus, 2,4,5-Cl3C6H2OH and dicyclohexylcarbodiimide were added to I (R=0H) in DMF and the mixture was stirred 24 hr to give II.